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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 02	STN pricing information for 2008 now available
NEWS 3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 5	JAN 28	MARPAT searching enhanced
NEWS 6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 9	FEB 08	STN Express, Version 8.3, now available
NEWS 10	FEB 20	PCI now available as a replacement to DPCI
NEWS 11	FEB 25	IFIREF reloaded with enhancements
NEWS 12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS 18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS 20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS 21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS 22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS 23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS 24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS 25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS 26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS 27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS 29	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
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FILE 'HOME' ENTERED AT 16:16:16 ON 27 JUN 2008

=>  FILE REG
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

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STRUCTURE FILE UPDATES:   26 JUN 2008  HIGHEST RN 1031085-65-0
DICTIONARY FILE UPDATES:  26 JUN 2008  HIGHEST RN 1031085-65-0

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http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10588862 species.str

L1      STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
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=> S L1 FAM FULL
FULL SEARCH INITIATED 16:17:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED        0 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

L2            0 SEA FAM FUL L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 16:18:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      161 TO ITERATE

100.0% PROCESSED      161 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L1

=> FILE CAPLUS
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  249.85     250.06

FILE 'CAPLUS' ENTERED AT 16:19:23 ON 27 JUN 2008
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FILE COVERS 1907 - 27 Jun 2008  VOL 149 ISS 1
FILE LAST UPDATED: 26 Jun 2008  (20080626/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> S L3
L4            0 L3

=> E GRIEBENOW NILS/IN
E1            1     GRIEBENOW L JOY/IN
E2            1     GRIEBENOW MARTIN/IN
E3            9 --> GRIEBENOW NILS/IN
E4           16     GRIEBENOW SIEGFRIED/IN
E5            2     GRIEBENOW YOLANDA/IN
E6            7     GRIEBL ERICH/IN
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E7            2     GRIEBL HANS JURGEN/IN
E8            1     GRIEBL MATTHIAS/IN
E9            1     GRIEBLER GERHARD/IN
E10          13     GRIEBLER WOLF DIETER/IN
E11           1     GRIEBLER WOLFDIETER/IN
E12           1     GRIEBLING GERHARD/IN

=> S E3
L5            9 "GRIEBENOW NILS"/IN

=> E FLESSNER TIMO/IN
E1            3     FLESSNER GERD/IN
E2            2     FLESSNER STEPHEN M/IN
E3           12 --> FLESSNER TIMO/IN
E4           11     FLESSNER UWE/IN
E5            7     FLESZAR BOLESLAW/IN
E6            1     FLETA GARCIA FERNANDO/IN
E7            1     FLETCHER/IN
E8            3     FLETCHER A F/IN
E9            1     FLETCHER A L/IN
E10           1     FLETCHER A T/IN
E11           1     FLETCHER AARON/IN
E12          24     FLETCHER AARON N/IN

=> S E3
L6           12 "FLESSNER TIMO"/IN

=> E HARTER MICHAEL/IN
E1            1     HARTER MARK A/IN
E2            1     HARTER MATTHIAS/IN
E3            5 --> HARTER MICHAEL/IN
E4            1     HARTER MICHAEL D/IN
E5            1     HARTER MIRIAM/IN
E6            1     HARTER MIRIAM K/IN
E7            2     HARTER NOAH S/IN
E8            1     HARTER RUSSELL W/IN
E9            2     HARTER SABRINA/IN
E10           1     HARTER STEVEN P/IN
E11           1     HARTER TODRICK G/IN
E12           1     HARTER WALTER E/IN

=> S E3 OR E4
              5 "HARTER MICHAEL"/IN
              1 "HARTER MICHAEL D"/IN
L7            6 "HARTER MICHAEL"/IN OR "HARTER MICHAEL D"/IN

=> E RAABE MARTIN/IN
E1            1     RAABE MAGNUS/IN
E2            2     RAABE MAREN/IN
E3           15 --> RAABE MARTIN/IN
E4            1     RAABE ORVILLE R JR/IN
E5            1     RAABE OTTO G/IN
E6            1     RAABE P RODER BRUNO/IN
E7            2     RAABE PETER/IN
E8            1     RAABE RALF/IN
E9            1     RAABE SIEGFRIED/IN
E10          16     RAABE THOMAS/IN
E11           2     RAABE THORSTEN/IN
E12           1     RAABE UDO/IN

=> S E3
```

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L8          15 "RAABE MARTIN"/IN

=> E BUCHMULLER ANJA/IN
E1           1     BUCHMUELLER WILHELM/IN
E2           1     BUCHMUELLLER ANJA/IN
E3           0 --> BUCHMULLER ANJA/IN
E4           1     BUCHMULLER JURGEN/IN
E5           1     BUCHMULLER WALTER/IN
E6           1     BUCHNAM JAMES H/IN
E7           1     BUCHNAN G H/IN
E8           1     BUCHNAYA TATYANA A/IN
E9           1     BUCHNEA ALEXANDER/IN
E10          4     BUCHNER ALFRED/IN
E11          1     BUCHNER ALFRED A/IN
E12          5     BUCHNER ANTON/IN

=> S E2
L9           1 "BUCHLOL DACTYLOIDES"/CT

=> E BUCHMUELLER ANJA/IN
E1           1     BUCHMET MIROSLAV/IN
E2           1     BUCHMILLER LYLE D/IN
E3           6 --> BUCHMUELLER ANJA/IN
E4           1     BUCHMUELLER H/IN
E5           1     BUCHMUELLER HEINRICH/IN
E6           1     BUCHMUELLER HEINZ PETER/IN
E7           1     BUCHMUELLER HORST/IN
E8           1     BUCHMUELLER HORST DIPL ING/IN
E9           1     BUCHMUELLER J/IN
E10          5     BUCHMUELLER JUERGEN/IN
E11          1     BUCHMUELLER KLAUS/IN
E12          1     BUCHMUELLER MARIANNE/IN

=> S E3
L10          6 "BUCHMUELLER ANJA"/IN

=> E BISCHOFF HILMAR/IN
E1           9     BISCHOFF HANS/IN
E2           3     BISCHOFF HENRI FERNANDO/IN
E3         113 --> BISCHOFF HILMAR/IN
E4           1     BISCHOFF HILMER/IN
E5           1     BISCHOFF HIMLAR/IN
E6           1     BISCHOFF HUGO/IN
E7           4     BISCHOFF JAMES R/IN
E8           5     BISCHOFF JOACHIM/IN
E9           8     BISCHOFF JOERG/IN
E10          2     BISCHOFF JOHANN J/IN
E11          3     BISCHOFF JOHANNA M H K/IN
E12          5     BISCHOFF JOHANNES/IN

=> S E3 OR E4 OR E5
           113 "BISCHOFF HILMAR"/IN
             1 "BISCHOFF HILMER"/IN
             1 "BISCHOFF HIMLAR"/IN
L11        115 "BISCHOFF HILMAR"/IN OR "BISCHOFF HILMER"/IN OR "BISCHOFF HIMLAR
               "/IN

=> E ELLINGHAUS PETER/IN
E1           1     ELLINGHAUS FRITZ/IN
E2           1     ELLINGHAUS O/IN
E3          20 --> ELLINGHAUS PETER/IN
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E4            1     ELLINGHAUS WOLFGANG/IN
E5            1     ELLINGHAUS WOLFGANG DIPL ING/IN
E6            8     ELLINGHORST GUIDO/IN
E7            1     ELLINGHOUSE R J/IN
E8            3     ELLINGHOVEN RAYMOND/IN
E9            1     ELLINGHUYSEN JERRY A/IN
E10           1     ELLINGSEN ALMAR/IN
E11           1     ELLINGSEN BJARTE SOEREBOE/IN
E12           8     ELLINGSEN JAN EIRIK/IN

=> S E3
L12          20 "ELLINGHAUS PETER"/IN

=> E KOLKHOF PETER/IN
E1           18     KOLKHIR V K/IN
E2            2     KOLKHIR VLADIMIR K/IN
E3           27 --> KOLKHOF PETER/IN
E4            1     KOLKIN E N/IN
E5            1     KOLKIN JON/IN
E6            1     KOLKIN M M/IN
E7            1     KOLKIN S V/IN
E8            2     KOLKIN T/IN
E9            2     KOLKINA N I/IN
E10           1     KOLKIND KURT/IN
E11           1     KOLKMAN ARJAN/IN
E12           2     KOLKMAN JOOST/IN

=> S E3
L13          27 "KOLKHOF PETER"/IN

=> S L5 OR L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13
L14         189 L5 OR L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13

=> S CARDIOVASCULAR
        113652 CARDIOVASCULAR
             4 CARDIOVASCULARS
L15     113655 CARDIOVASCULAR
                 (CARDIOVASCULAR OR CARDIOVASCULARS)

=> S L15 AND L14
L16          78 L15 AND L14

=> S L5 AND L6 AND L7
L17           0 L5 AND L6 AND L7

=> S L8 AND L11
L18           5 L8 AND L11

=> S "TETRAHYDROBENZO[D]AZEPIN-2-ONE"
          2145 "TETRAHYDROBENZO"
       2603193 "D"
          4539 "AZEPIN"
             7 "AZEPINS"
          4545 "AZEPIN"
                 ("AZEPIN" OR "AZEPINS")
       9648641 "2"
       2596044 "ONE"
        192787 "ONES"
       2748042 "ONE"
                 ("ONE" OR "ONES")
L19           5 "TETRAHYDROBENZO[D]AZEPIN-2-ONE"
```

("TETRAHYDROBENZO"(W)"D"(W)"AZEPIN"(W)"2"(W)"ONE")

=> S L19 AND L14
L20 0 L19 AND L14

=> D L19 1-5 IBIB ABS

L19 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:529900 CAPLUS
DOCUMENT NUMBER: 148:538288
TITLE: Preparation of fused bicyclic derivatives of 2,4-diaminopyrimidine as ALK and c-Met kinase inhibitors
INVENTOR(S): Ahmed, Gulzar; Bohnstedt, Adolph; Breslin, Henry Joseph; Burke, Jason; Curry, Matthew A.; Diebold, James L.; Dorsey, Bruce; Dugan, Benjamin J.; Feng, Daming; Gingrich, Diane E.; Guo, Tao; Ho, Koc-Kan; Learn, Keith S.; Lisko, Joseph G.; Liu, Rong-Qiang; Mesaros, Eugen F.; Milkiewicz, Karen; Ott, Gregory R.; Parrish, Jonathan; Theroff, Jay P.; Thieu, Tho V.; Tripathy, Rabindranath; Underiner, Theodore L.; Wagner, Jason C.; Weinberg, Linda; Wells, Gregory J.; You, Ming; Zificsak, Craig A.
PATENT ASSIGNEE(S): Cephalon, Inc., USA; Pharmacopeia Drug Discovery, Inc.
SOURCE: PCT Int. Appl., 1297pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051547	A1	20080502	WO 2007-US22496	20071023

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-853562P P 20061023
OTHER SOURCE(S): MARPAT 148:538288
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [R1 = H, halo, NO2, OH and derivs., aryl, alkyl, etc.; R2 = (un)substituted alk(en/yn)yl, (hetero)aryl, R3-R5 = independently H, CO2H and derivs., NH2 and derivs., OCHF2, etc.; A1-A5 = independently (CH2)1-2 and derivs., CO, NH and derivs., S, SO, SO2, O, with provisos; with the exception of specified compds.; and their pharmaceutically acceptable salts] were prepared as ALK and c-Met kinase

inhibitors for treating proliferative disorders. Thus, nitration of 1,3,4,5-tetrahydrobenzo[b]azepin-2-one with HNO3/H2SO4, alkylation with Me iodide, reduction of the nitro intermediate and amination of 2-[(2,5-dichloropyrimidin-4-yl)amino]-N-methylbenzamide gave benzazepinylaminopyrimidine III. III inhibited ALK and C-Met kinases with IC50 < 0.1 μM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

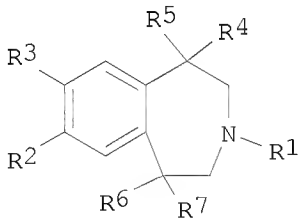
ACCESSION NUMBER: 2007:1447634 CAPLUS
DOCUMENT NUMBER: 148:54912
TITLE: Preparation of aryl and heteroaryl tetrahydrobenzazepine derivatives as 5-HT agonists useful for treating glaucoma
INVENTOR(S): Mohapatra, Suchismita; Hellberg, Mark R.; Feng, Zixia
PATENT ASSIGNEE(S): Alcon Manufacturing Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 13pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070293475	A1	20071220	US 2007-761493	20070612
WO 2007149728	A2	20071227	WO 2007-US70931	20070612

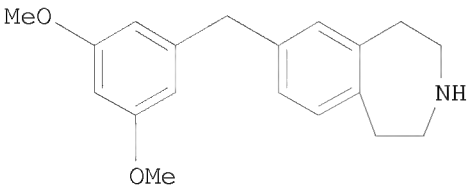
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-814971P P 20060620
OTHER SOURCE(S): MARPAT 148:54912
GI



I



II

AB Aryl tetrahydrobenzazepine derivs. of general formula I (wherein R1 = H or C1-4 alkyl; R2= H, OH, or alkoxy; R3 = -X-Ar, -OR8, etc.; R4, R5, R6, R7= H or C1-2 alkyl; R8 = H or C1-4 alkyl; X=O, -C(R9)(R10)-, etc.; Ar= (un)substituted Ph or pyridyl; R9, R10 = H or C1-4 alkyl) with minimal 5-HT2B activity relative to 5-HT2A and 5-HT2C activity that are useful for treating glaucoma are disclosed. Example compound II was prepared by reacting 7-chloro-1,2,4,5-tetrahydro-benzo[d]azepine-3-carboxylic acid tert-Bu ester with 3,5-dimethoxybenzyl zinc chloride. In an assay involving functional response of 5-HT2 receptor subtypes, II had EC50 values of 16.9, >10,000, and 20 nm, in activating the 5-HT2A, 5-HT2B, and 5-HT2C receptors, resp.

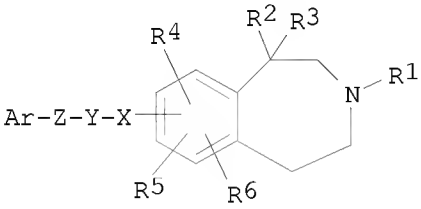
L19 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1271397 CAPLUS
DOCUMENT NUMBER: 144:192090
TITLE: Classical and dynamic resolution of 1-amino-3-methyl-1,3,4,5-tetrahydrobenzo[d]azepin-2-one
AUTHOR(S): Mitchell, David; Hay, Lynne A.; Koenig, Thomas M.; McDaniel, Stacey; Nissen, Jeffrey S.; Audia, James E.
CORPORATE SOURCE: Chemical Product R&D, Lilly Research Laboratories, Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SOURCE: Tetrahedron: Asymmetry (2005), 16(23), 3814-3819
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:192090
AB Two efficient production processes of enantioenriched 1-amino-3-methyl-1,3,4,5-tetrahydro-benzo[d]azepin-2-one were achieved using the readily available starting materials. A key step in the methodologies is a classical resolution or a dynamic resolution that provides excellent chemical (>80%) yields and enantiomeric excesses (>99.8% ee). The classical resolution was developed on a preparative scale while the dynamic resolution was implemented on a pilot plant scale.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:409483 CAPLUS
DOCUMENT NUMBER: 142:463622
TITLE: Preparation of benzazepine derivatives and methods of prophylaxis or treatment of 5-HT2C receptor associated diseases like obesity
INVENTOR(S): Smith, Brian; Gilson, Charles, III; Schultz, Jeffrey; Estrada, Scott
PATENT ASSIGNEE(S): Arena Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042491	A1	20050512	WO 2004-US34917	20041021
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20080009478 A1 20080110 US 2007-576849 20070409
PRIORITY APPLN. INFO.: US 2003-513865P P 20031022
WO 2004-US34917 W 20041021
OTHER SOURCE(S): CASREACT 142:463622; MARPAT 142:463622
GI



I

AB The present invention relates to substituted-2,3,4,5-tetrahydro-3-benzazepine derivs. (shown as I; variables defined below; e.g. (S)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (II) and 8-benzyl-7-methoxy-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine hydrochloride (III)) that are modulators of the 5-HT2C receptor. Accordingly, compds. of the present invention are useful for the prophylaxis or treatment of 5-HT2C receptor associated diseases, conditions or disorders, such as, obesity and related disorders. For I: X is O, S, SO, SO2, CO, COO, NR7, CONR7, SONR7, SO2NR7, NR7CONR7 or is absent; Y is C1-C10 alkenyl or is absent, wherein Y is (un)substituted by halo, C1-C4 alkyl, C1-C4 alkoxy, C1-C4 haloalkyl, C1-C4 haloalkoxy, hydroxy, carboxy, amino, alkylamino, or dialkylamino; Z is O, S, SO, SO2 or absent; R1 is H, C1-C8 alkyl, C3-C7 cycloalkyl, or C1-C8 haloalkyl; R2 is C1-C8 alkyl or C1-C8 haloalkyl; R3 is H, C1-C8 alkyl, or C1-C8 haloalkyl; or R2 and R3 together with the C atom to which they are attached form a C3-C7 cycloalkyl. R4, R5, and R6 = H, halo, C1-C8 alkyl, C1-C8 haloalkyl, C2-C8 alkenyl, C2-C8 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, mercapto, C1-C8 alkoxy, C1-C8 thioalkoxy, C1-C8 haloalkoxy, aryloxy, cycloalkyloxy, heteroaryloxy, heterocycloalkyloxy, cyano, nitro, NR8R9, NR8COR10, COR10, COOR11, or CONR8R9; R7 is H, C1-C4 alkyl, or C1-C4 haloalkyl; R8 and R9 = H, C1-C4 alkyl, C1-C4 haloalkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R8 and R9 together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl. R10 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R11 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl. Ar is aryl or heteroaryl, each (un)substituted by ≥1 halo, cyano, nitro, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, C1-C6 alkoxy, C1-C6 haloalkoxy, C3-C7 cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C1-C6 thioalkoxy, C3-C7 thiocycloalkyloxy,

thioaryloxy, thioheteroaryloxy, C1-C4 alkylsulfinyl, C1-C4 alkylsulfonyl, C1-C4 haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, COR12, COOR13, NR14R15, NR14COR12, NR14CONR14R15, or CONR14R15. Or Ar together with Y and Z form a benzo-fused cycloalkyl or benzo-fused heterocycloalkyl group, each (un)substituted by ≥1 halo, cyano, nitro, C1-C6 alkyl, C1-C6 haloalkyl, C2-C6 alkenyl, C2-C6 alkynyl, aryl, heteroaryl, C3-C7 cycloalkyl, heterocycloalkyl, hydroxy, C1-C6 alkoxy, C1-C6 haloalkoxy, C3-C7, cycloalkyloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, mercapto, C1-C6 thioalkoxy, C3-C7 thiocycloalkyloxy, thioaryloxy, thioheteroaryloxy, C1-C4 alkylsulfinyl, C1-C4 alkylsulfonyl, C1-C4 haloalkylsulfinyl, C1-C4 haloalkylsulfonyl, COR12, COOR13, NR14R15, NR14COR12, NR14CONR14R15, or CONR14R15. R12 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; R3 is H, C1-C4 alkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heteroaryl, or heterocycloalkyl; and R14 and R15 = H, C1-C4 alkyl, C1-C4 haloalkyl, C3-C7 cycloalkyl, cycloalkylalkyl, aryl, or arylalkyl; or R14 and R15 together with the N atom to which they are attached form a 5- or 6-membered heterocycloalkyl group; provisos are given in the claims. Although the methods of preparation are not claimed, 39 example prepns. are included. For example, II was prepared in 3 steps starting from (S)-N-(trifluoroacetyl)-8-chloro-1-methyl-1,2,4,5-tetrahydrobenzo[d]azepine and involving intermediates (S)-N-(Trifluoroacetyl)-8-chloro-7-iodo-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine and (S)-N-(Trifluoroacetyl)-7-benzyl-8-chloro-1-methyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine. 5-HT2C IC50 values are reported for II and III as 30 and 7 nM, resp., from an intracellular IP3 accumulation assay.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:267241 CAPLUS
DOCUMENT NUMBER: 140:303538
TITLE: Preparation of [[(aminoalkyl)aryl]oxy]nicotinamides and analogs as opioid receptor antagonist for treatment of obesity and related conditions
INVENTOR(S): Blanco-Pillado, Maria-Jesus; Chappell, Mark Donald; Garcia De la Torre, Marta; Diaz Buezo, Nuria; Fritz, James Erwin; Holloway, William Glen; Matt, James Edward, Jr.; Mitch, Charles Howard; Pedregal-Tercero, Concepcion; Quimby, Steven James; Siegel, Miles Goodman; Smith, Dana Rae; Stucky, Russell Dean; Takeuchi, Kumiko; Thomas, Elizabeth Marie; Wolfe, Chad Nolan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 559 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026305	A1	20040401	WO 2003-US26300	20030917
WO 2004026305	A9	20040513		

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TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2499690	A1	20040401	CA 2003-2499690		20030917
AU 2003269980	A1	20040408	AU 2003-269980		20030917
BR 2003014308	A	20050705	BR 2003-14308		20030917
EP 1562595	A1	20050817	EP 2003-751877		20030917
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CN 1681498	A	20051012	CN 2003-822241		20030917
JP 2006511474	T	20060406	JP 2004-537682		20030917
NZ 538459	A	20080430	NZ 2003-538459		20030917
TW 287012	B	20070921	TW 2003-92125729		20030918
US 20060217372	A1	20060928	US 2005-526960		20050303
US 7381719	B2	20080603			
MX 2005PA03093	A	20050713	MX 2005-PA3093		20050318
IN 2005KN00457	A	20060303	IN 2005-KN457		20050318
NO 2005001871	A	20050418	NO 2005-1871		20050418
PRIORITY APPLN. INFO.:			US 2002-412158P	P	20020919
			WO 2003-US26300	W	20030917

OTHER SOURCE(S): MARPAT 140:303538

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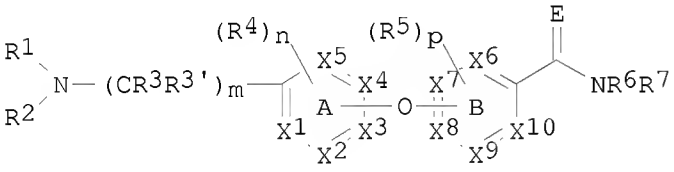

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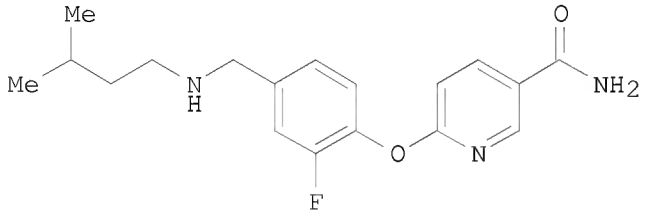

II

AB Title diaryl ethers I [wherein X1-X10 = independently C, CH, or N; provided that each of rings A or B has no more than 2 N atoms; E = O or NH; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (alkyl)aryl, (aryl)heterocyclyl, (cyclo)alkylheterocyclyl, (cyclo)alkanoylalkyl, aroylalkyl, aryloxyalkyl, benzhydryl, bicyclyl(alkyl), benzoyl(alkyl), alkoxyalkyl, alkoxycarbonyl, (aryl)alkylsulfonyl, heterocyclylalkylsulfonyl, cycloalkylalkyl, carboxyalkyl, carbamoylalkyl, etc.; R3 and R3' = independently H, alkyl, alkenyl, alkynyl, (alkyl)aryl, or alkylcycloalkyl; R4 and R5 = independently H, (halo)alkyl, alkenyl, alkynyl, alkoxy(halo)alkyl, thioalkyl, halo, aryl(alkyl), alkanoyl, alkoxycarbonyl, aminoalkyl, cycloalkylalkyl, etc.; R6 and R7 = independently H, (cyclo)alkyl, alkenyl, alkynyl, alkanoyl, OH, alkoxy, (aryl)alkylsulfonyl,

heterocyclylalkylsulfonyl, aryl(alkyl), carbamoyl(alkyl), etc.; m = 1-3; n = 0-3; p = 0-3; or pharmaceutically acceptable salts, solvates, enantiomers, racemates, diastereomers, or mixts. thereof] were prepared as μ-, κ-, and δ-opioid receptor antagonists. For example, reductive amination of 6-(2-fluoro-4-formylphenoxy)nicotinamide and 3-methylbutylamine provided II (99%). The latter inhibited ex vivo binding of [3H]-diprenorphine in rat striatum/nucleus accumbens by >65% at a concentration of 7 mg/kg. In an acute feeding rat obesity assay, II suppressed opioid receptors at a dose of 0.3 μg/kg. In addition, diet-induced obese rats achieved an energy balance (caloric intake minus utilization) of -81 kcal/kg/day upon administration of 0.3 mg/kg p.o. of II in an indirect calorimetry assay. Thus, I and their pharmaceutical compns. are useful for the treatment, prevention, or amelioration of obesity and related diseases.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	60.39	310.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.00	-4.00

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 20, 2008 (20080620/UP).

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=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.04	312.49

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.00

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STRUCTURE FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0
DICTIONARY FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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conducting SmartSELECT searches.

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http://www.cas.org/support/stngen/stndoc/properties.html

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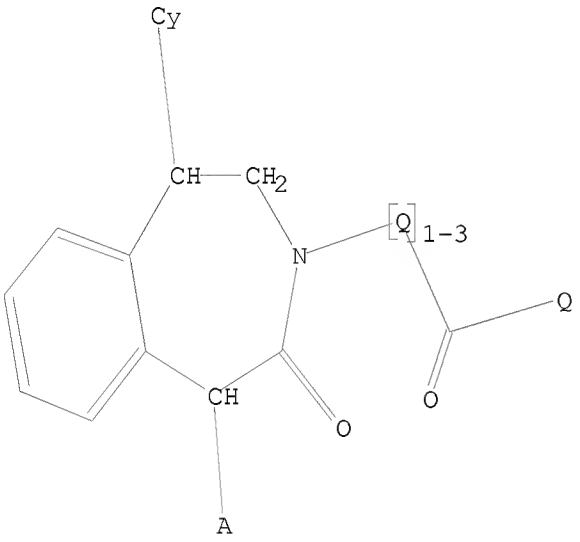

Structure attributes must be viewed using STN Express query preparation.

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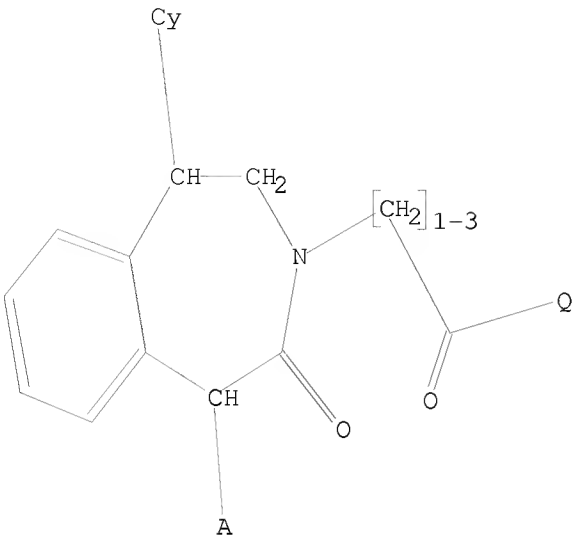

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=> FILE CAPLUS

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FULL ESTIMATED COST	360.40	672.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-4.00

FILE 'CAPLUS' ENTERED AT 16:55:39 ON 27 JUN 2008
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FILE COVERS 1907 - 27 Jun 2008 VOL 149 ISS 1
FILE LAST UPDATED: 26 Jun 2008 (20080626/ED)

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Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> S L24
L25 1 L24

=> D L25 IBIB ABS HITSTR

L25 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:901857 CAPLUS
DOCUMENT NUMBER: 143:248302
TITLE: Preparation of tetrahydro-2H-3-benzazepin-2-ones for the treatment of cardiovascular diseases
INVENTOR(S): Griebenow, Nils; Flessner, Timo; Raabe, Martin; Bischoff, Hilmar
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: Ger. Offen., 49 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004006325	A1	20050825	DE 2004-102004006325	20040210
CA 2554996	A1	20050825	CA 2005-2554996	20050201
WO 2005077907	A1	20050825	WO 2005-EP960	20050201
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1716118	A1	20061102	EP 2005-701287	20050201
EP 1716118	B1	20080430		
R: DE, ES, FR, GB, IT				
JP 2007522159	T	20070809	JP 2006-552501	20050201
US 20070287698	A1	20071213	US 2007-588862	20070619
PRIORITY APPLN. INFO.:			DE 2004-102004006325A	20040210
			WO 2005-EP960 W	20050201

OTHER SOURCE(S): MARPAT 143:248302
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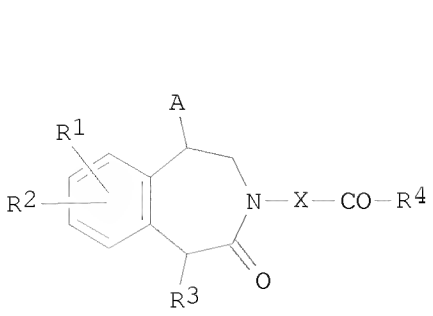

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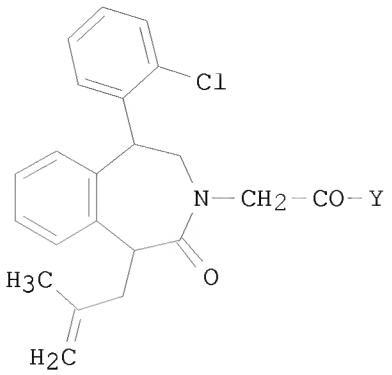


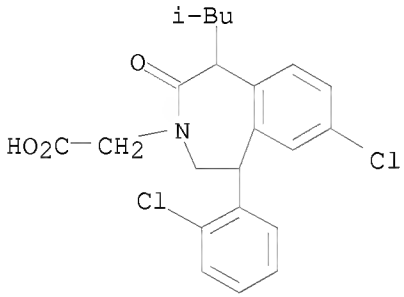
II

AB Title compds. I [X = (CH2)n; n = 1-3; A = aryl, 5 to 10-membered heteroaryl, etc.; R1, R2 = H, halo, CN, etc.; R3 = alkyl, alkenyl, alkynyl, etc.; R4 = OR7, NR8R9; R7 = H, alkyl; R8, R9 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, saponification of Et ester II (Y = OEt) afforded carboxylic acid II (Y = OH) in 54% yield. In squalene synthase inhibition assays, compds. I exhibited IC50 values < 20 μM. Compds. I are claimed to be useful for the treatment of cardiovascular diseases.

IT 863252-98-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tetrahydrobenzazepinones for the treatment of cardiovascular diseases)

RN 863252-98-6 CAPLUS

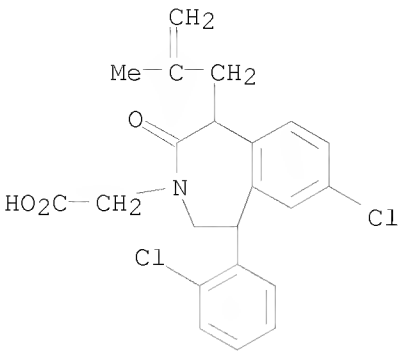
CN 3H-3-Benzazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo- (CA INDEX NAME)



IT 863252-97-5P 863253-01-4P 863253-02-5P
863253-09-2P 863253-10-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydrobenzazepinones for the treatment of cardiovascular diseases)

RN 863252-97-5 CAPLUS

CN 3H-3-Benzazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methyl-2-propen-1-yl)-2-oxo- (CA INDEX NAME)



RN 863253-01-4 CAPLUS
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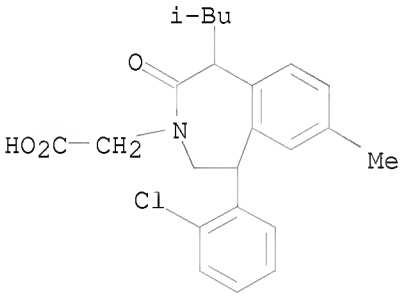

RN 863253-02-5 CAPLUS
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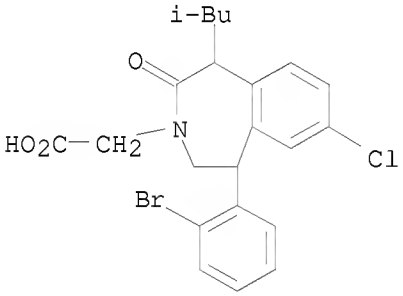

RN 863253-09-2 CAPLUS
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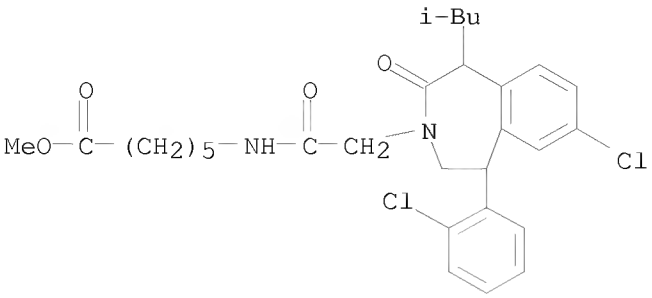
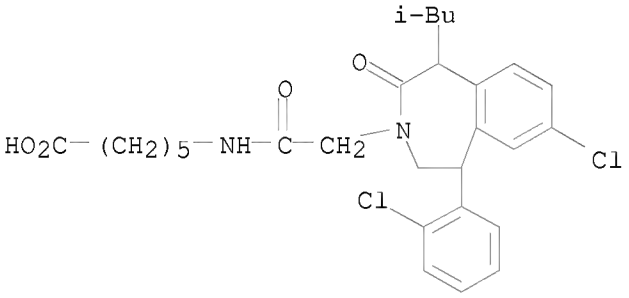
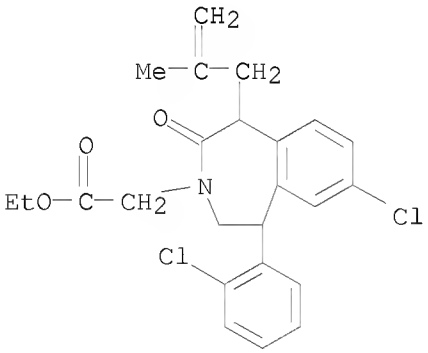


RN 863253-10-5 CAPLUS
CN Hexanoic acid, 6-[[2-[7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo-3H-3-benzazepin-3-yl]acetyl]amino]- (CA INDEX NAME)



IT 863253-14-9P 863253-15-0P 863253-22-9P
863253-23-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydrobenzazepinones for the treatment of cardiovascular diseases)

RN 863253-14-9 CAPLUS
CN 3H-3-Benzazepine-3-acetic acid, 7-chloro-5-(2-chlorophenyl)-1,2,4,5-tetrahydro-1-(2-methyl-2-propen-1-yl)-2-oxo-, ethyl ester (CA INDEX NAME)



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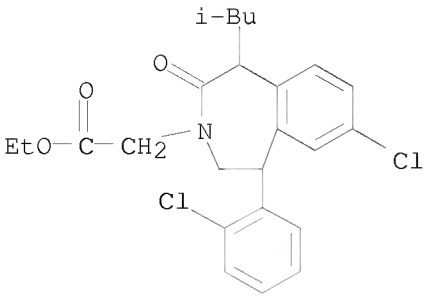
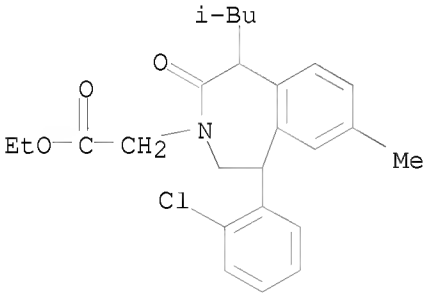
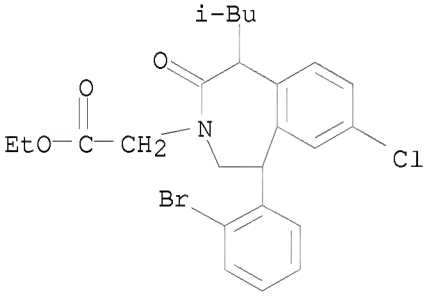


RN 863253-22-9 CAPLUS
CN 3H-3-Benzazepine-3-acetic acid, 5-(2-chlorophenyl)-1,2,4,5-tetrahydro-7-methyl-1-(2-methylpropyl)-2-oxo-, ethyl ester (CA INDEX NAME)



RN 863253-23-0 CAPLUS
CN 3H-3-Benzazepine-3-acetic acid, 5-(2-bromophenyl)-7-chloro-1,2,4,5-tetrahydro-1-(2-methylpropyl)-2-oxo-, ethyl ester (CA INDEX NAME)



=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.85	680.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.80	-4.80

FILE 'REGISTRY' ENTERED AT 16:58:47 ON 27 JUN 2008

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0
DICTIONARY FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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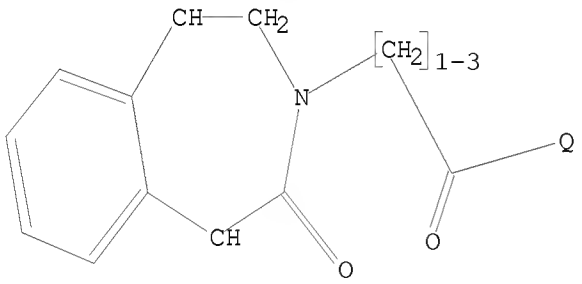
Uploading C:\Program Files\STNEXP\Queries\10588862 genus2.str

L26   STRUCTURE UPLOADED

=> D L26
L26 HAS NO ANSWERS
L26   STR



Structure attributes must be viewed using STN Express query preparation.

=> S L26 SSS FULL
FULL SEARCH INITIATED 16:59:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 148476 TO ITERATE

100.0% PROCESSED 148476 ITERATIONS   38 ANSWERS
SEARCH TIME: 00.00.01

L27   38 SEA SSS FUL L26

=> FILE CAPLUS
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
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FULL ESTIMATED COST   178.36   859.10

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE   TOTAL
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CA SUBSCRIBER PRICE 0.00 -4.80

FILE 'CAPLUS' ENTERED AT 16:59:20 ON 27 JUN 2008
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FILE COVERS 1907 - 27 Jun 2008 VOL 149 ISS 1
FILE LAST UPDATED: 26 Jun 2008 (20080626/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> S L27
L28 2 L27

=> S L28 NOT L25
L29 1 L28 NOT L25

=> D L29 IBIB ABS HITSTR

L29 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:536464 CAPLUS
DOCUMENT NUMBER: 137:63182
TITLE: Novel bicyclic vitronectin-receptor antagonists, e.g., bicycloheptene and benzazepine derivatives, and their preparation, and pharmaceuticals containing them
INVENTOR(S): Casara, Patrick; Perron, Sierra Francoise; Atassi, Ghanem; Tucker, Gordon; Saint, Dizier Dominique
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.
SOURCE: Fr. Demande, 74 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2806082	A1	20010914	FR 2000-2902	20000307
FR 2806082	B1	20020517		
CA 2402686	A1	20011025	CA 2001-2402686	20010306
CA 2402686	C	20080205		
WO 2001079172	A1	20011025	WO 2001-FR650	20010306

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

BR	2001008998	A	20021217	BR 2001-8998		20010306
EP	1268429	A1	20030102	EP 2001-969041		20010306
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HU	2003000181	A2	20030528	HU 2003-181		20010306
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JP	2003531140	T	20031021	JP 2001-576773		20010306
JP	3974780	B2	20070912			
AT	273957	T	20040915	AT 2001-969041		20010306
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MX	2002PA08633	A	20030224	MX 2002-PA8633		20020903
US	20030229109	A1	20031211	US 2002-220755		20020903
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PRIORITY APPLN. INFO.:				FR 2000-2902	A	20000307
				WO 2001-FR650	W	20010306

OTHER SOURCE(S): MARPAT 137:63182

GI

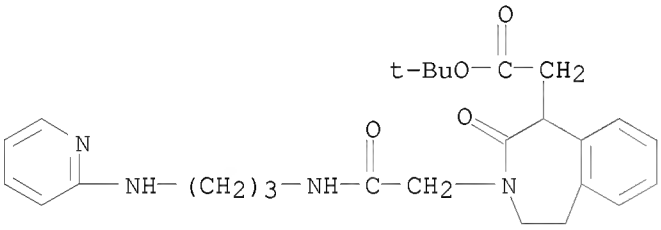
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I, including enantiomers, diastereoisomers, and pharmaceutically acceptable acid and base addition salts, are disclosed [wherein: G = C, CH, N, or O, forming a benzene or aromatic or partially unsatd. heterocyclic group containing 1-2 heteroatoms and optionally substituted by R1-R4; G1, G2 = C or N; R1-R4 = halo, alkyl, perhaloalkyl, cyano, NO2, OR7, NR6R6', CO2R6, CONR6R6', COR6, S(O)nR6, or absent; n = 0-2; T1 = CH2CH2, CH:CH, :CHCH2 and T2 = bond; or T1 = CH2 or :CH and T2 = CH2 or :CH; R5 = (CH2)mCOOR6; R6, R6' = H, alkyl, (un)substituted aryl or arylalkyl; R7 = H, alkyl; W = CH, :C, or C: and A = CO, CH, :CH, or CH:; or W = N and A = CO or CH2; X = COX1, CONR6X1, NR6COX1, OX1, SO2NR6X1, S(O)nX1; X1 = alkylene; Y = Y1, Y2Y1, Y1Y2Y1; Y1 = alkylene, alkenylene, or alkynylene; Y2 = arylene, heteroarylene, cycloalkylene, or heterocycloalkylene; Z = Z1, Z10NR6, or Z10NR6CO; Z10 = alkyl or Z1; Z1 = Z2, Z20C(:NR6), Z20NR6, and Z20NR6CO; Z20 = alkyl, heteroalkyl, or Z2; Z2 = (un)substituted heteroaryl, heterocycloalkyl, heteroarylalkyl, heterocycloalkylalkyl, fused arylheteroaryl, fused arylheterocycloalkyl, fused heteroarylheterocycloalkyl, fused heteroarylheteroaryl, or fused cycloalkylheterocycloalkyl; m = 1-6]. Approx. 50 examples and 25 intermediate prepns. are given, with little or no characterizing data. For instance, 5,6,8,9-tetrahydro-7H-benzocyclohepten-7-one (II) was converted in 4 steps to tert-Bu (7-formyl-6,9-dihydro-5H-benzocyclohepten-5-yl)acetate (III). This aldehyde underwent borohydride reduction to an alc., conversion to a bromide, coupling with 2-[(5-hydroxypentyl)amino]pyridine

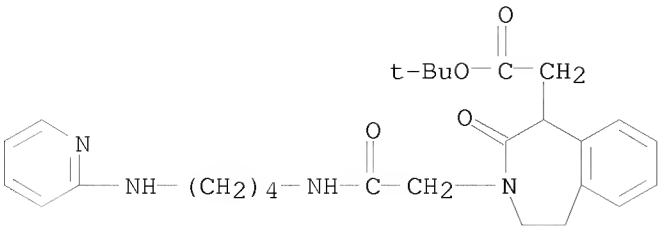
(preparation given), and acid hydrolysis, to give title compound IV.HCl. Compds.
I bound to human placental αvβ3 and αvβ5 vitronectin receptors in vitro with IC50 values on the order of 1 nM. In tests of integrin-dependent cell adhesion using human placental (αvβ3) and human ovarian carcinoma (αvβ5) cells, compds. I inhibited adhesion to vitronectin with IC50 values on the order of hundreds of nM and tens of nM, resp. However, in a test for aggregation of platelets from human platelet-rich plasma (side effect), compds. I showed no effect up to 100 μM.

IT 439609-58-2P, tert-Butyl [2-Oxo-3-[2-oxo-2-[[3-(2-pyridinylamino)propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-61-7P, tert-Butyl [2-oxo-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-64-0P, tert-Butyl [2-oxo-3-[2-oxo-2-[[2-(2-pyridinylamino)ethyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-67-3P, tert-Butyl [2-oxo-3-[2-oxo-2-[[3-[(2-pyridinylamino)methyl]benzyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-70-8P, tert-Butyl [2-oxo-3-[2-oxo-2-[[3-[N-(4,5,6,7-tetrahydro-3H-azepin-2-yl)amino]propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-73-1P, tert-Butyl [2-oxo-3-[2-oxo-2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate 439609-76-4P, tert-Butyl [2-oxo-3-[2-oxo-2-[[3-[[(4,5-dihydro-1H-imidazol-2-yl)amino]methyl]benzyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetate
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of bicycloheptene and benzazepine derivs. as vitronectin receptor antagonists)

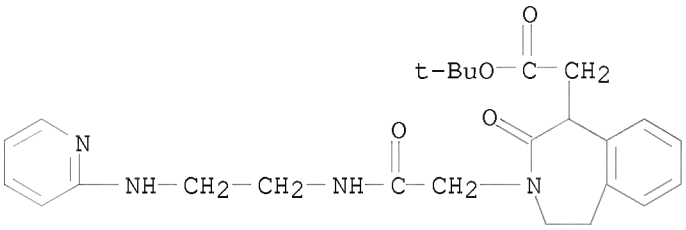
RN 439609-58-2 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[3-(2-pyridinylamino)propyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



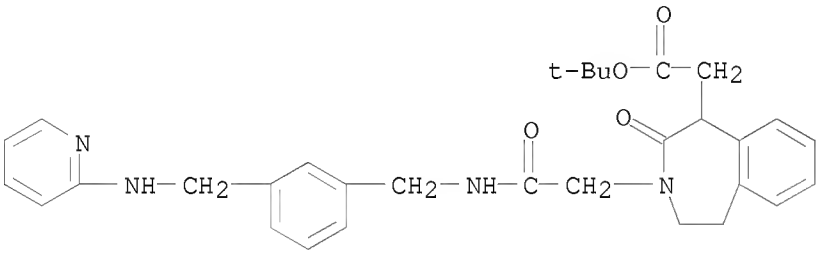
RN 439609-61-7 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



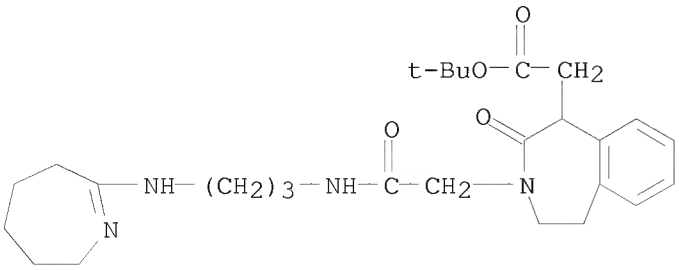
RN 439609-64-0 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[2-(2-pyridinylamino)ethyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



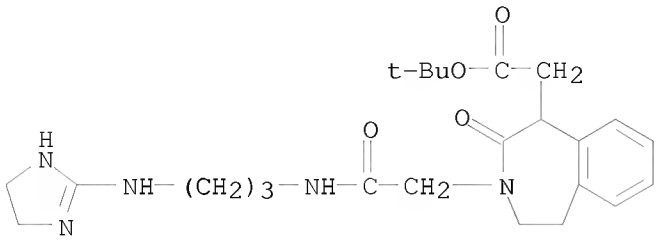
RN 439609-67-3 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[[3-[(2-pyridinylamino)methyl]phenyl]methyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



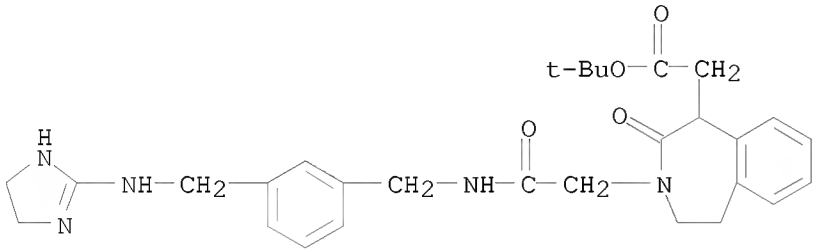
RN 439609-70-8 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[3-[(3,4,5,6-tetrahydro-2H-azepin-7-yl)amino]propyl]amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 439609-73-1 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 439609-76-4 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[2-[[[3-[[(4,5-dihydro-1H-imidazol-2-yl)amino]methyl]phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 439609-60-6P, [2-Oxo-3-[2-oxo-2-[[3-(2-pyridinylamino)propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-63-9P, [2-Oxo-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-66-2P, [2-Oxo-3-[2-oxo-2-[[2-(2-pyridinylamino)ethyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-69-5P, [2-Oxo-3-[2-oxo-2-[[3-[(2-pyridinylamino)methyl]benzyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-72-0P, [2-Oxo-3-[2-oxo-2-[[3-[N-(4,5,6,7-tetrahydro-3H-azepin-2-yl)amino]propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-75-3P, [2-Oxo-3-[2-oxo-2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate 439609-78-6P, [2-Oxo-3-[2-oxo-2-[[3-[[(4,5-dihydro-1H-imidazol-2-yl)amino]methyl]benzyl]amino]ethyl]-2,3,4,5-tetrahydro-1H-3-benzazepin-1-yl]acetic acid trifluoroacetate
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bicycloheptene and benzazepine derivs. as vitronectin receptor antagonists)

RN 439609-60-6 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[3-(2-pyridinylamino)propyl]amino]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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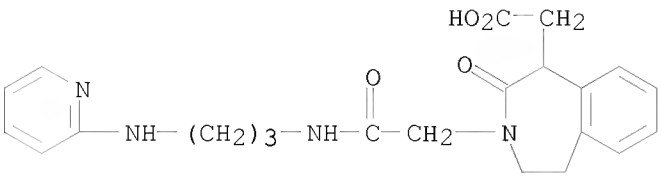

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CRN 76-05-1
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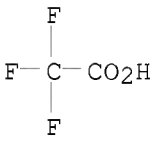

RN 439609-63-9 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[4-(2-pyridinylamino)butyl]amino]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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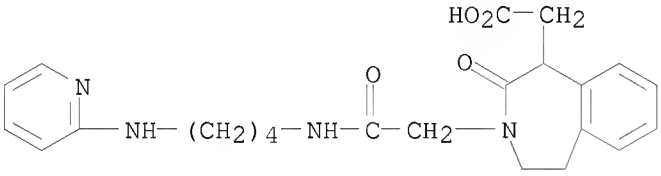
CRN 439609-62-8
CMF C23 H28 N4 O4



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CRN 76-05-1
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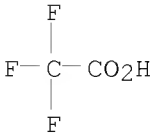

RN 439609-66-2 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[2-(2-pyridinylamino)ethyl]amino]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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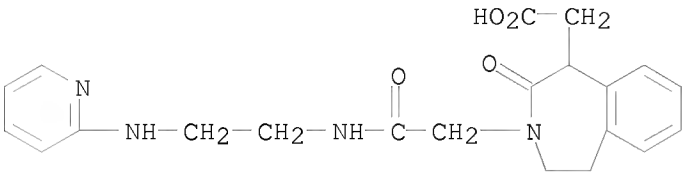
CRN 439609-65-1
CMF C21 H24 N4 O4



CM 2

CRN 76-05-1
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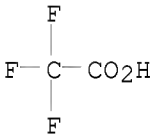
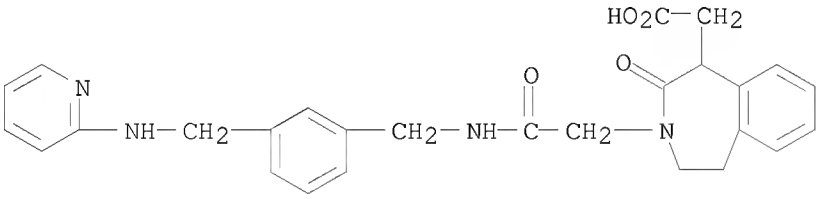


RN 439609-69-5 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[[3-[(2-pyridinylamino)methyl]phenyl]methyl]amino]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 439609-68-4
CMF C27 H28 N4 O4



CM 2

CRN 76-05-1
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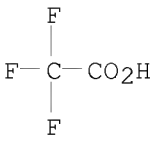

RN 439609-72-0 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 2,3,4,5-tetrahydro-2-oxo-3-[2-oxo-2-[[3-[(3,4,5,6-tetrahydro-2H-azepin-7-yl)amino]propyl]amino]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 439609-71-9
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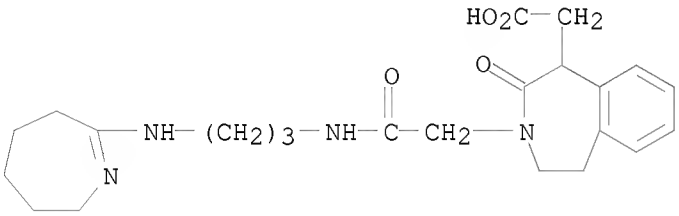

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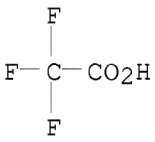

RN 439609-75-3 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[2-[[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]propyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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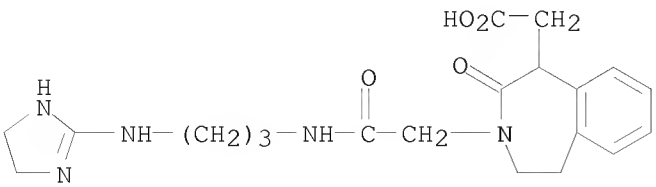
CRN 439609-74-2
CMF C20 H27 N5 O4



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CRN 76-05-1
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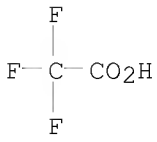

RN 439609-78-6 CAPLUS
CN 1H-3-Benzazepine-1-acetic acid, 3-[2-[[[3-[[(4,5-dihydro-1H-imidazol-2-yl)amino]methyl]phenyl]methyl]amino]-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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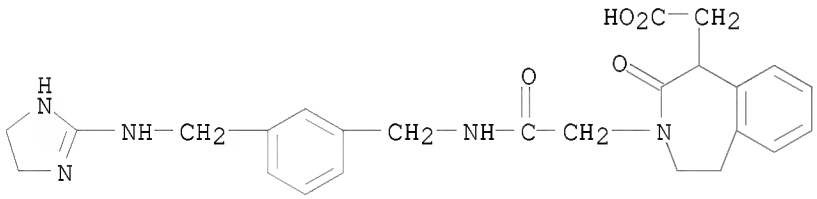
CRN 439609-77-5
CMF C25 H29 N5 O4



CM 2

CRN 76-05-1
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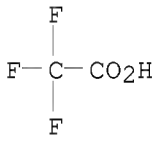
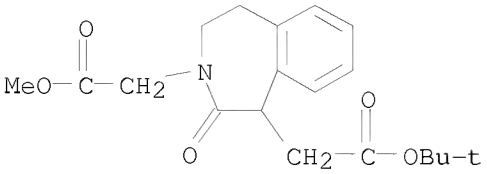


IT 439609-85-5P, Methyl [1-(2-tert-butoxy-2-oxoethyl)-2-oxo-1,2,4,5-tetrahydro-3H-3-benzazepin-3-yl]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bicycloheptene and benzazepine derivs. as vitronectin receptor antagonists)
RN 439609-85-5 CAPLUS
CN 3H-3-Benzazepine-1,3-diacetic acid, 1,2,4,5-tetrahydro-2-oxo-, 1-(1,1-dimethylethyl) 3-methyl ester (CA INDEX NAME)



=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	8.81	867.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.80	-5.60

FILE 'REGISTRY' ENTERED AT 17:03:24 ON 27 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0
DICTIONARY FILE UPDATES: 26 JUN 2008 HIGHEST RN 1031085-65-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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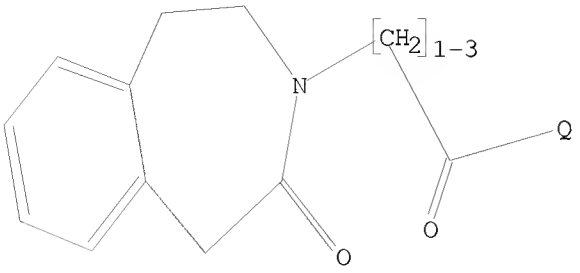
L30 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 17:03:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 148476 TO ITERATE

100.0% PROCESSED 148476 ITERATIONS 49 ANSWERS
SEARCH TIME: 00.00.01

L31 49 SEA SSS FUL L30

=> FILE CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	1046.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-5.60

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FILE COVERS 1907 - 27 Jun 2008 VOL 149 ISS 1
FILE LAST UPDATED: 26 Jun 2008 (20080626/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

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L32         14 L31

=> S L32 NOT L28
L33         12 L32 NOT L28

=> D L33 1-12 IBIB ABS HITSTR

L33  ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2007:1092898  CAPLUS
DOCUMENT NUMBER:          147:385858
TITLE:                    Preparation of dibenzoazepine compounds as
                          γ-secretase inhibitors
INVENTOR(S):              Flohr, Alexander; Jakob-Roetne, Roland; Wostl,
                          Wolfgang
PATENT ASSIGNEE(S):       Switz.
SOURCE:                   U.S. Pat. Appl. Publ., 39pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
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     US 20070225273        A1    20070927    US 2007-726639          20070322
     WO 2007110335         A1    20071004    WO 2007-EP52557         20070319
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             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,
             GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
             KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
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         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
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             BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:                       EP 2006-111771      A  20060327
OTHER SOURCE(S):          MARPAT 147:385858
GI
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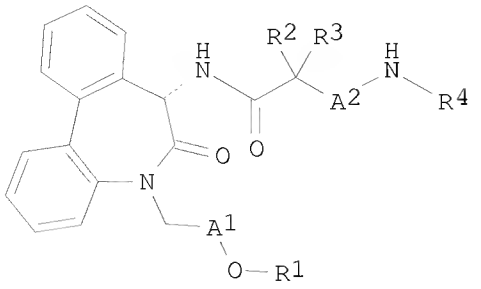

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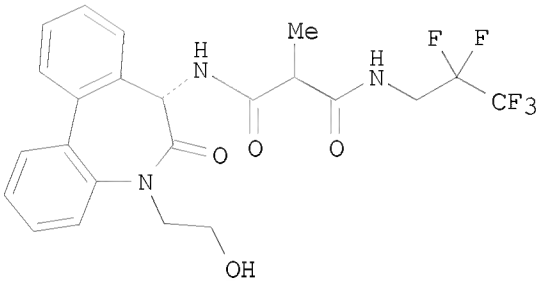


II

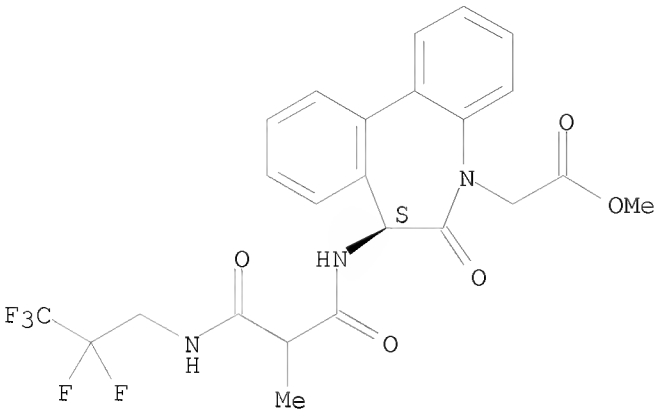
AB Title compds. I [A1 = -CHR- or -CO-; A2 = -CO- and R2, R3 = H, alkyl, halo, etc.; A2 = -O-CO- and R2, R3 = H or alkyl; R = H or alkyl substituted by halo; R1 = H, alkyl or -(CH2)n-aryl (wherein alkyl and -(CH2)-aryl are optionally substituted by halo); R4 = alkyl substituted by halo; n = 0-2] or pharmaceutically acceptable acid addition salts, optically pure enantiomers, racemates, or diastereomeric mixture thereof were prepared For example, acylation of (S)-7-amino-5-(2-benzyloxyethyl)-5H,7H-dibenzo[b,d]azepin-6-one, e.g., prepared from ((S)-6-oxo-6,7-dihydro-5H-dibenzo[b,d]azepin-7-yl)carbamic acid tert-Bu ester in 2 steps, with 2-methyl-N-(2,2,3,3,3-pentafluoropropyl)malonamic acid followed by de-benzylation afforded compound II. In γ-secretase inhibition assays, the IC50 value of compound II was 0.001 μM. Of note, compds. I are useful for the treatment of Alzheimer's disease.

IT 950688-24-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dibenzoazepine compds. as γ-secretase inhibitors)

RN 950688-24-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 6,7-dihydro-7-[[2-methyl-1,3-dioxo-3-[(2,2,3,3,3-pentafluoropropyl)amino]propyl]amino]-6-oxo-, methyl ester, (7S)- (CA INDEX NAME)

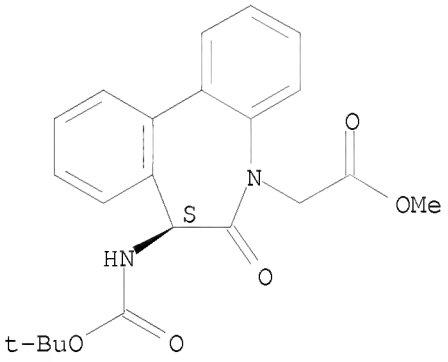
Absolute stereochemistry.



IT 950688-96-7P 950688-97-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dibenzoazepine compds. as γ-secretase inhibitors)

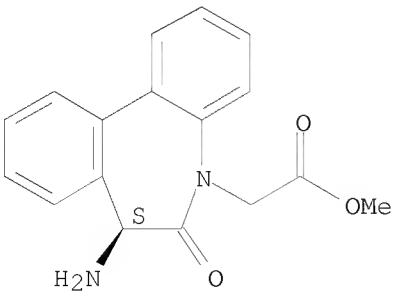
RN 950688-96-7 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester, (7S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 950688-97-8 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, (7S)- (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1141473 CAPLUS
DOCUMENT NUMBER: 143:422268
TITLE: Preparation of polycyclic α-amino-ε-caprolactams and related compounds as synthetic intermediates for the preparation of inhibitors of β-amyloid peptide release
INVENTOR(S): Audia, James E.; Mabry, Thomas E.; Nissen, Jeffrey A.; McDaniel, Stacey L.; Porter, Warren J.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly and Company
SOURCE: U.S., 53 pp., Cont.-in-part of U.S. Ser. No. 337,408, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

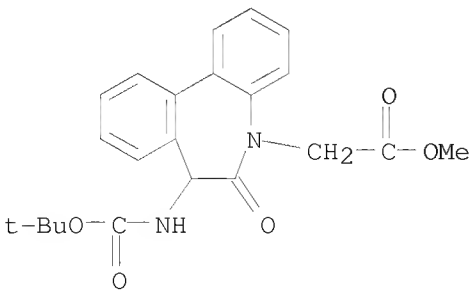
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6958330	B1	20051025	US 2001-882777		20010614
PRIORITY APPLN. INFO.:			US 1998-160066P	P	19980622
			US 1999-337408	B2	19990621

OTHER SOURCE(S): MARPAT 143:422268

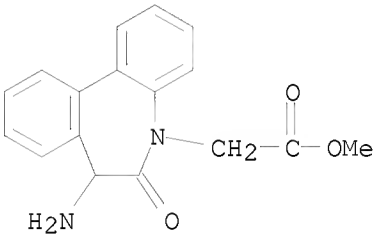
AB Title compds. R1NHCR2CONHW [I; W = substituted ε-caprolactam; R1 = H or N-protecting group; R2 = H, (un)substituted alkyl, alkenyl, etc.] are prepared and disclosed as synthetic intermediates in the preparation of inhibitors of β-amyloid peptide release and/or its synthesis. Thus, e.g., 5-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride (II) was prepared by methylation of 5,7-dihydro-6H-dibenz[b,d]azepin-6-one, followed by reaction with Bu nitrite to introduce an oximo group which was hydrogenated to the 5-amine group of II and acidified to form the HCl salt. Examples were given to illustrate how a compound prepared from a synthetic intermediate of this invention (I) could be assayed to determine its ability to inhibit β-amyloid production in a cell (no data).

IT 228877-20-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of polycyclic α-amino-ε-caprolactams and related compound as synthetic intermediates in the preparation of inhibitors of β-amyloid peptide release and/or its synthesis)

RN 228877-20-1 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



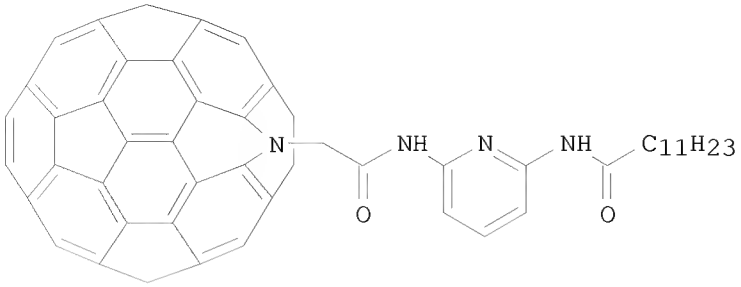
IT 228877-62-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of polycyclic α-amino-ε-caprolactams and related compound as synthetic intermediates in the preparation of inhibitors of β-amyloid peptide release and/or its synthesis)
RN 228877-62-1 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 227 THERE ARE 227 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:413722 CAPLUS
DOCUMENT NUMBER: 139:117330
TITLE: Synthesis and characterization of two [5,6]-open and [6,6]-closed [60]fullerene isomers
AUTHOR(S): Xiao, Shengqiang; Li, Yuliang; Fang, Hongjuan; Li, Hongmei; Liu, Huibiao; Jiang, Lei; Zhu, Daoben
CORPORATE SOURCE: Center for Molecular Sciences, Institute of Chemistry, Chinese Academy of Sciences, Beijing, 100080, Peop. Rep. China
SOURCE: Synthetic Metals (2003), 135-136, 839-840
CODEN: SYMEDZ; ISSN: 0379-6779
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:117330
GI



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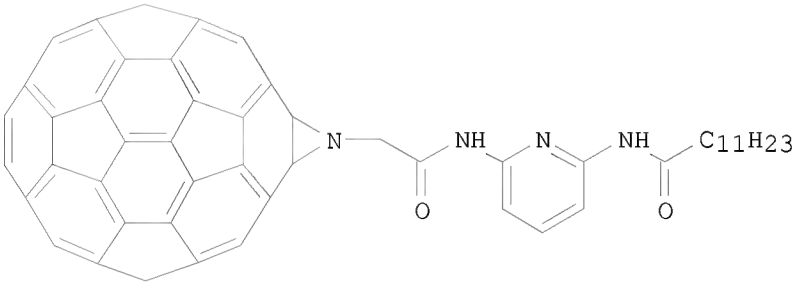


II

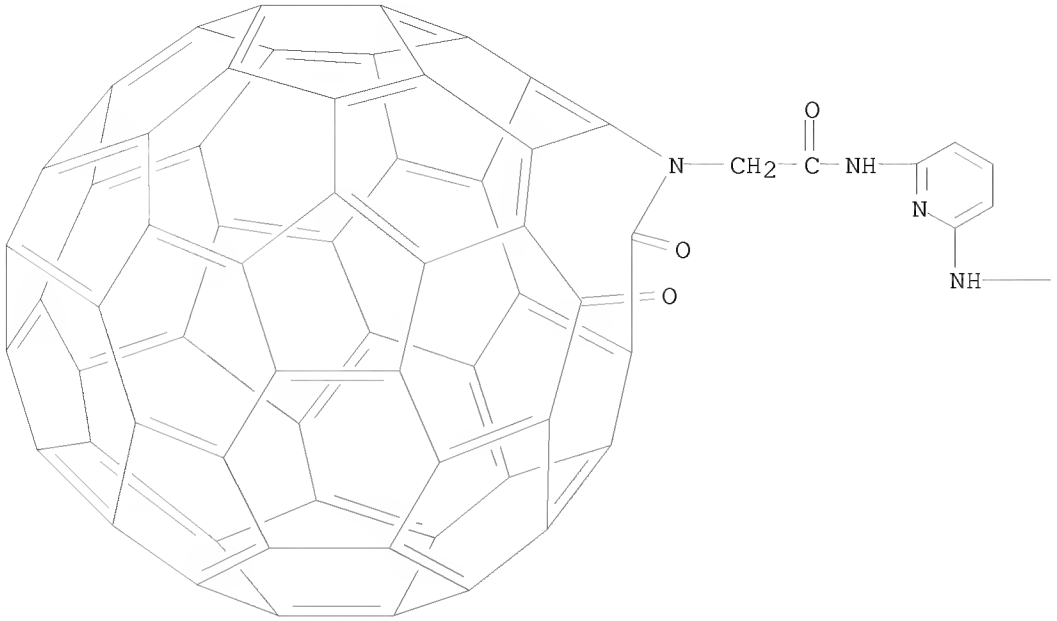
AB Two novel [5,6]-open (I) and [6,6]-closed (II) fullerene isomers and a ketolactam fullerene derivative bearing 2,6-bis(acylamino)pyridine unit as hydrogen bonding motif were synthesized through the cycloaddn. reaction of alkyl azide to [60]fullerene. 1H NMR, 13C NMR, MALDI-TOF and FTIR confirmed their structures.

IT 461019-13-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation by photochem. oxidation of [5,6]-open isomer of fullerene bis(acylamino)pyridine derivative)

RN 461019-13-6 CAPLUS

CN 2a-Aza-1,2(2a)-homo-2,3-seco[5,6]fullerene-C60-Ih-2a-acetamide, 2,3-dihydro-2,3-dioxo-N-[6-[(1-oxododecyl)amino]-2-pyridinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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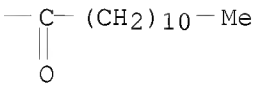

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:572226 CAPLUS
DOCUMENT NUMBER: 137:247564
TITLE: Synthesis and Characterization of Three Novel [60]Fullerene Derivatives toward Self-Assembled Nanoparticles through Interaction of Hydrogen Bonding
AUTHOR(S): Xiao, Shengqiang; Li, Yuliang; Fang, Hongjuan; Li, Hongmei; Liu, Huibiao; Shi, Zhiqiang; Jiang, Lei; Zhu, Daoben
CORPORATE SOURCE: Center for Molecular Sciences, Institute of Chemistry, Chinese Academy of Sciences, Beijing, 100080, Peop. Rep. China
SOURCE: Organic Letters (2002), 4(18), 3063-3066
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:247564
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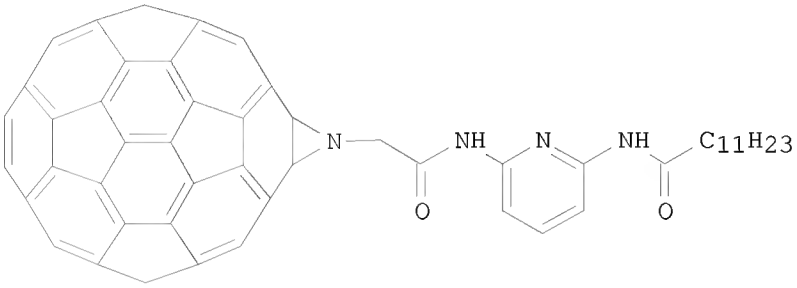


I

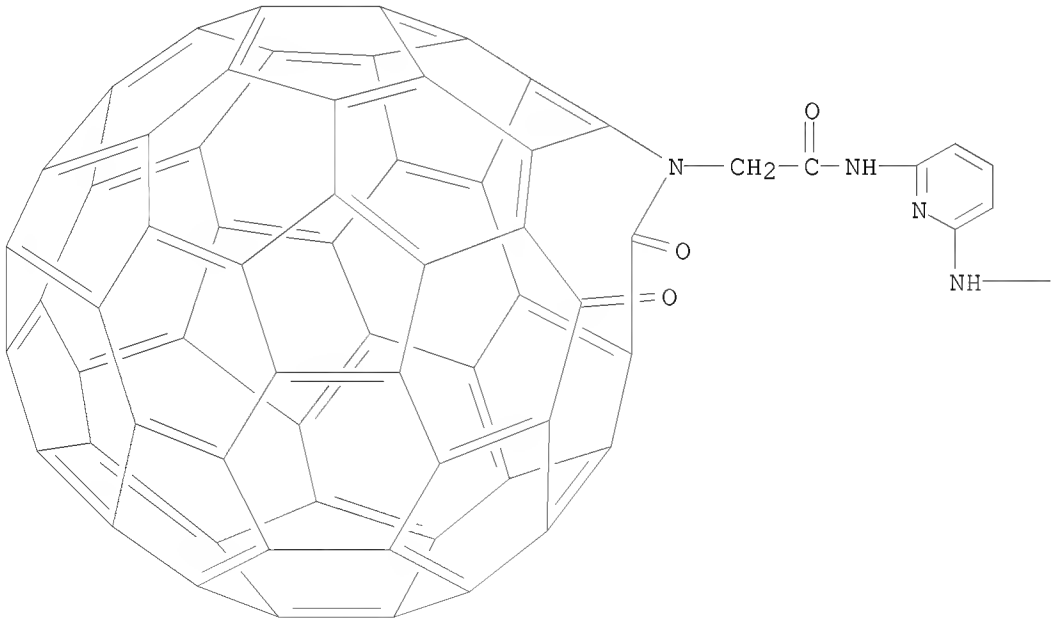
AB Three novel fullerene derivs. bearing a 2,6-bis(acylamino)pyridine unit as a hydrogen bonding motif displaying a dimerization tendency were synthesized and characterized by the cycloaddn. reaction of alkyl azide to [60]fullerene. An SEM image of the dimerization system of compound I indicated spherical particles having a mean diameter of 15 nm with a rather narrow size distribution.

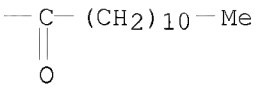
IT 461019-13-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 461019-13-6 CAPLUS

CN 2a-Aza-1,2(2a)-homo-2,3-seco[5,6]fullerene-C60-Ih-2a-acetamide, 2,3-dihydro-2,3-dioxo-N-[6-[(1-oxododecyl)amino]-2-pyridinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:819353 CAPLUS
DOCUMENT NUMBER: 132:64534
TITLE: Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis
INVENTOR(S): Thompson, Richard C.; Wilkie, Stephen; Stack, Douglas R.; Vanmeter, Eldon E.; Shi, Qing; Britton, Thomas C.; Audia, James E.; Reel, Jon K.; Mabry, Thomas E.; Dressman, Bruce A.; Cwi, Cynthia L.; Henry, Steven S.; Mcdaniel, Stacey L.; Stucky, Russell D.; Porter, Warren J.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company; et al.
SOURCE: PCT Int. Appl., 714 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967221	A1	19991229	WO 1999-US14193	19990622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325389	A1	19991229	CA 1999-2325389	19990622
AU 9947101	A	20000110	AU 1999-47101	19990622
EP 1089980	A1	20010411	EP 1999-930594	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518483	T	20020625	JP 2000-555875	19990622
US 20050192265	A1	20050901	US 2004-2922	20041203
PRIORITY APPLN. INFO.:			US 1998-102507 A2	19980622

WO 1999-US14193 W 19990622
US 2003-392332 A3 20030320

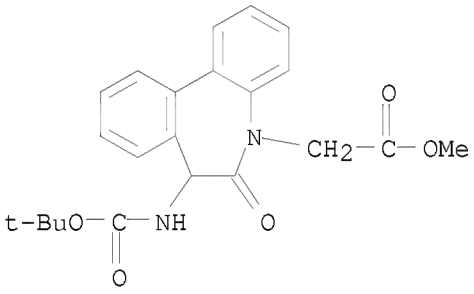
OTHER SOURCE(S): MARPAT 132:64534

AB Cyclic compds., e.g., R1R15'NC(Q)NR15(Y)n(CH)pC(X)W [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heterocyclyl, heteroaryl; R15 = H, alkyl, substituted alkyl, aryl, heteroaryl, heterocyclyl; R15' = H, OH, alkyl, substituted alkyl, heterocyclyl, heteroaryl; W together with (CH)pC(X) forms an (un)substituted cycloalkyl or cycloalkenyl, heterocyclyl, which are optionally fused to form a bi- or multi-fused ring systems; X = oxo, thioxo, hydroxyl, thiol, or hydro (H,H); Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; p = 0 or 1], were prepared for inhibition of β-amyloid peptide release and/or its synthesis. Thus, (S)-3-[[N-(2-thiophenecarbonyl)-L-alaninyl]amino]-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one was prepared via acylation of (S)-3-(L-alaninylamino)-2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one with 2-thiophenecarboxylic acid. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control.

IT 228877-20-1P 228877-62-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic amino acid compds. for inhibiting β-amyloid peptide release)

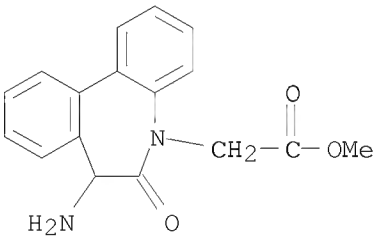
RN 228877-20-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-62-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:819352 CAPLUS
DOCUMENT NUMBER: 132:64533
TITLE: Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis
INVENTOR(S): Audia, James E.; Thompson, Richard C.; Wilkie, Stephen C.; Britton, Thomas C.; Porter, Warren J.; Huffman, George W.; Latimer, Lee H.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
SOURCE: PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

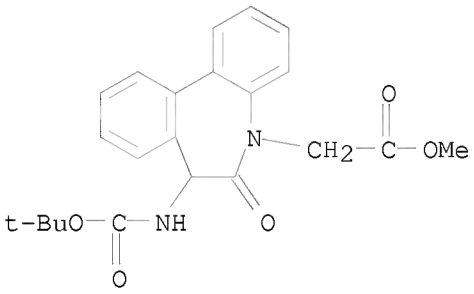
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967220	A1	19991229	WO 1999-US14007	19990621
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2325388	A1	19991229	CA 1999-2325388	19990621
AU 9952047	A	20000110	AU 1999-52047	19990621
EP 1089981	A1	20010411	EP 1999-937164	19990621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518482	T	20020625	JP 2000-555874	19990621
US 6509331	B1	20030121	US 1999-337484	19990621
US 20030153550	A1	20030814	US 2002-267017	20021007
US 6774125	B2	20040810		

PRIORITY APPLN. INFO.:	US 1998-102728	A2	19980622
	US 1998-155265P	P	19980622
	US 1999-337484	A3	19990621
	WO 1999-US14007	W	19990621

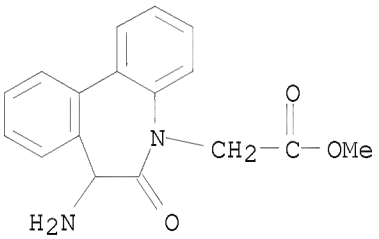
OTHER SOURCE(S): MARPAT 132:64533

AB Compds. R1(Z)mNH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH or (CHR2')aNH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, R2' = H or R2; R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl, Z = -T-CX'X''CO, where T is selected from the group consisting of a bond covalently linking R1 to -CX'X''-, oxygen, sulfur and -NR6 (R6 = H, acyl, alkyl, aryl, heteroaryl), X' is H, OH, F, X'' is H, OH, F or X' and X'' together form an oxo group; m = 0 or 1; n = 1 or 2] were prepared for inhibition of β-amyloid peptide release and/or its synthesis. Thus, 1-(L-alaninylamino)-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one was prepared via coupling of N-tert-butoxycarbonyl-L-alanine with 1-amino-4,5,6,7-tetrahydro-3,7-methano-3H-3-benzazonin-2(1H)-one. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control when employed at 10 μg/mL.

IT 228877-20-1P 228877-21-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic amino acid compds. for inhibiting β-amyloid peptide release)
RN 228877-20-1 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-21-2 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:819351 CAPLUS
DOCUMENT NUMBER: 132:64532
TITLE: Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis
INVENTOR(S): Audia, James E.; Porter, Warren J.; Thompson, Richard C.; Wilkie, Stephen C.; Stack, Douglas R.; Shi, Qing
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
SOURCE: PCT Int. Appl., 287 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967219	A1	19991229	WO 1999-US14096	19990622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2324474	A1	19991229	CA 1999-2324474	19990622
AU 9947079	A	20000110	AU 1999-47079	19990622
EP 1089977	A1	20010411	EP 1999-930566	19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518481	T	20020625	JP 2000-555873	19990622
US 6552013	B1	20030422	US 1999-338121	19990622
US 20030149022	A1	20030807	US 2002-326081	20021223
US 6838455	B2	20050104		
US 20050192265	A1	20050901	US 2004-2922	20041203
PRIORITY APPLN. INFO.:			US 1998-102507 A2	19980622
			US 1998-150704P P	19980930
			US 1998-162757 A2	19980930
			US 1998-160067P P	19980622
			US 1999-338121 A3	19990622
			WO 1999-US14096 W	19990622
			US 2003-392332 A3	20030320

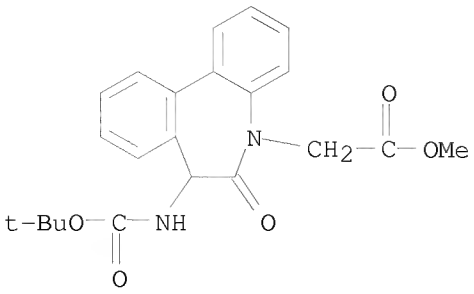
OTHER SOURCE(S): MARPAT 132:64532

AB Compds. R1ZNH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, or cycloalkenyl, aryl, heteroaryl, heterocyclyl; Z is represented by -T-CX'X''V- where T is selected from the group consisting of a bond covalently linking R1 to -CX'X''-, oxygen, sulfur and -NR6 (R6 = H, acyl, alkyl, aryl, heteroaryl), X' is H, OH, F, X'' is H, OH, F or X' and X'' together form an oxo group, V is alkylene or substituted alkylene or R1 and Z together form aryl or (un)substituted cycloalkyl, cycloalkenyl, or heterocyclyl; n = 1 or 2] were prepared for inhibition of β-amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-[2-(3,5-difluorophenyl)ethyl]-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepared by reductive alkylation of 5-(S)-(L-alaninyl)amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride with 3,5-difluorophenylacetaldehyde using sodium cyanoborohydride. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control when employed at 10 μg/mL.

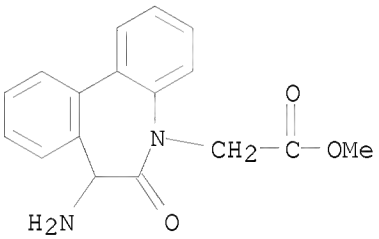
IT 228877-20-1P 228877-62-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic amino acid compds. for inhibiting β-amyloid peptide release)

RN 228877-20-1 CAPLUS

CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-62-1 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:819249 CAPLUS
DOCUMENT NUMBER: 132:64531
TITLE: Preparation of cyclic amino acid compounds for inhibiting β-amyloid peptide release and/or its synthesis
INVENTOR(S): Audia, James E.; Dressman, Bruce A.; Shi, Qing
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly & Company
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966934	A1	19991229	WO 1999-US14211	19990622

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

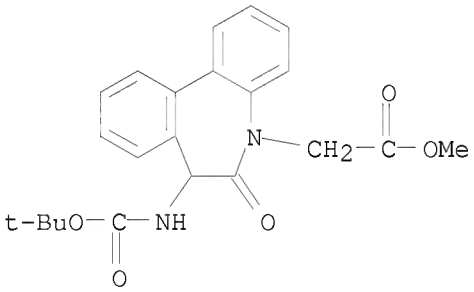
CA 2324475	A1	19991229	CA 1999-2324475		19990622
AU 9947104	A	20000110	AU 1999-47104		19990622
EP 1093372	A1	20010425	EP 1999-930600		19990622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002518451	T	20020625	JP 2000-555620		19990622
US 20050192265	A1	20050901	US 2004-2922		20041203
PRIORITY APPLN. INFO.:			US 1998-102507	A2	19980622
			US 1998-164451	A2	19980930
			WO 1999-US14211	W	19990622
			US 2003-392332	A3	20030320

OTHER SOURCE(S): MARPAT 132:64531

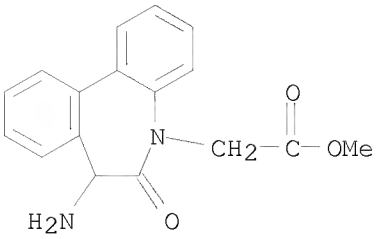
AB Compds. R'R''NCHR1CONH(Y)nW and R':NC(:R1)CONH(Y)nW [W is a fused ring system, e.g., benzo- or dibenzoazepinones or -diazepinones; Y = CHR2CONH, where R2 = (un)substituted alkyl, alkenyl, or alkynyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R1 and R' form a nitrogen-containing heterocycle; R'' = H, alkyl, substituted alkyl, aryl; n = 1 or 2] were prepared for inhibition of β-amyloid peptide release and/or its synthesis. Thus, 5-(S)-[N'-(L-prolyl)-L-alaninyl]amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one was prepared via coupling of N-(N'-tert-butoxycarbonyl-L-prolyl)-L-alanine with 5-(S)-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one. Compds. of the invention inhibit β-amyloid peptide production by at least 30% as compared to the control when employed at 10 μg/mL.

IT 228877-20-1P 228877-62-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic amino acid compds. for inhibiting β-amyloid peptide release)

RN 228877-20-1 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-62-1 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:425778 CAPLUS
DOCUMENT NUMBER: 131:73572
TITLE: Preparation of annelated caprolactams as inhibitors of β-amyloid release and/or synthesis.
INVENTOR(S): Audia, James E.; Mabry, Thomas E.; Nissen, Jeffrey S.; Mcdaniel, Stacey L.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Eli Lilly and Company
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932453	A1	19990701	WO 1998-US22637	19981029
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6635632	B1	20031021	US 1997-996422	19971222
CA 2307221	A1	19990701	CA 1998-2307221	19981029
AU 9912777	A	19990712	AU 1999-12777	19981029
BR 9812773	A	20001010	BR 1998-12773	19981029
EP 1042298	A1	20001011	EP 1998-956198	19981029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001000294	A2	20010928	HU 2001-294	19981029
HU 2001000294	A3	20030428		
JP 2003521438	T	20030715	JP 2000-525390	19981029
MX 2000PA05540	A	20010328	MX 2000-PA5540	20000605
US 20020068741	A1	20020606	US 2001-915263	20010726
US 20020052359	A1	20020502	US 2001-915480	20010727
US 6544978	B2	20030408		
US 20020111343	A1	20020815	US 2001-915547	20010727
US 20020115652	A1	20020822	US 2001-915362	20010727
US 6541466	B2	20030401		

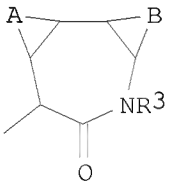
US 20020123486	A1	20020905	US 2001-915342	20010727
US 6632811	B2	20031014		
US 20020137738	A1	20020926	US 2001-915564	20010727
US 6559141	B2	20030506		
US 20020151538	A1	20021017	US 2001-915379	20010727
US 6579867	B2	20030617		
US 20020173504	A1	20021121	US 2001-915519	20010727
US 20020045747	A1	20020418	US 2001-916282	20010730
US 20020055500	A1	20020509	US 2001-916440	20010730
US 6653303	B1	20031125	US 2003-336824	20030106
US 6667305	B1	20031223	US 2003-336745	20030106
US 6683075	B1	20040127	US 2003-336806	20030106
US 20040043977	A1	20040304	US 2003-336687	20030106
US 20040058900	A1	20040325	US 2003-336767	20030106
US 20050203080	A1	20050915	US 2003-733877	20031212
US 7153847	B2	20061226		
US 20050182046	A1	20050818	US 2004-777247	20040213
US 20050215541	A1	20050929	US 2004-951992	20040929
US 6951854	B2	20051004		
US 20050272666	A1	20051208	US 2004-1610	20041202
US 20060079499	A1	20060413	US 2004-1608	20041202
US 20070203108	A1	20070830	US 2006-433923	20060512
US 7390801	B2	20080624		

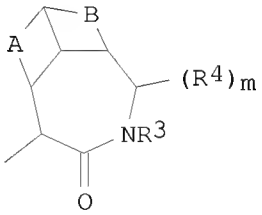
PRIORITY APPLN. INFO.:

US 1996-64851P	P	19961223
US 1997-996422	A	19971222
US 1998-102726	A	19980622
US 1996-780025	A	19961223
WO 1998-US22637	W	19981029
US 2001-915263	A1	20010726
US 2001-915342	A3	20010727
US 2001-915362	A3	20010727
US 2001-915379	A3	20010727
US 2001-915480	A3	20010727
US 2001-915564	A3	20010727
US 2001-916440	A1	20010730
US 2003-336687	B3	20030106
US 2003-336767	A3	20030106
US 2003-733877	A1	20031212

OTHER SOURCE(S): MARPAT 131:73572

GI

Q^1 = 

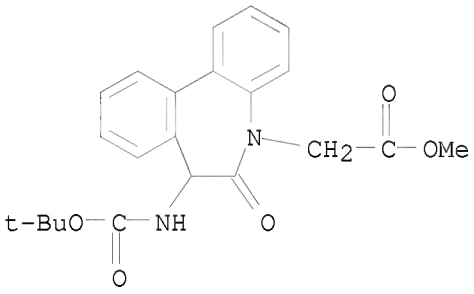
Q^2 = 

AB R1(NHCHR2CO)nNHW [W = Q1, Q2, etc.; R1 = H, blocking group; R2 = H, (substituted) alkyl, alkenyl, alkynyl, acyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclyl; A, B = atoms to form aryl, (substituted) cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic ring; R3 = H, (substituted) alkyl, alkenyl, alkynyl, acyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclyl; R4 = (substituted) alkyl, alkenyl, alkynyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclyl; m, n = 0-2], were prepared Thus, 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one

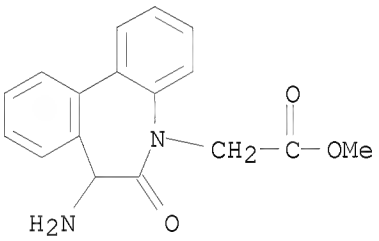
(preparation given) in PhMe was treated with Bu nitrite; the mixt at 0° was treated with KN(SiMe3)2 followed by 1 h stirring to give 80% 7-methyl-5-oximo-5,7-dihydro-6H-dibenz[b,d]azepin-6-one. The latter was hydrogenated in EtOH over Pd/C at 35 psi to give 61% 5-amino-7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one hydrochloride. Title compds. at 10 μg/mL inhibit β-amyloid production by ≥30%.

IT 228877-20-1P 228877-21-2P 228877-62-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of annelated caprolactams as inhibitors of β-amyloid release and/or synthesis)

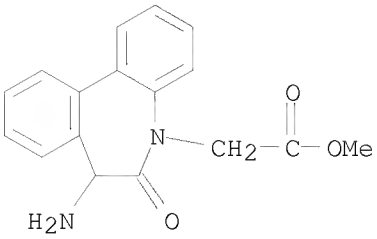
RN 228877-20-1 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-[[(1,1-dimethylethoxy)carbonyl]amino]-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



RN 228877-21-2 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester (CA INDEX NAME)



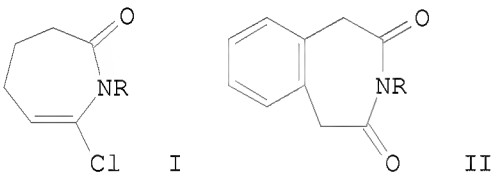
RN 228877-62-1 CAPLUS
CN 5H-Dibenz[b,d]azepine-5-acetic acid, 7-amino-6,7-dihydro-6-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

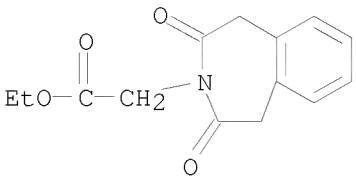
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:406141 CAPLUS
DOCUMENT NUMBER: 113:6141
ORIGINAL REFERENCE NO.: 113:1190h,1191a
TITLE: Condensation of iminophosphoranes with dicarbonyl dihalides: a one-step synthesis of new azepine derivatives
AUTHOR(S): Aubert, Thierry; Farnier, Michel; Guilard, Roger
CORPORATE SOURCE: Lab. Synth. Electrosynth. Organomet., Fac. Sci. "Gabriel", Dijon, F-21100, Fr.
SOURCE: Synthesis (1990), (2), 149-50
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:6141
GI

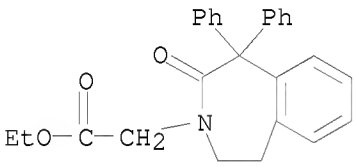


I II

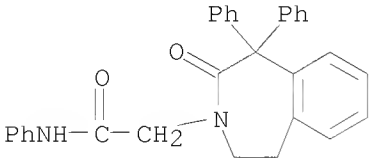
AB Condensation of RN:PPh3 (R = PhCH2, CH2CO2Et), prepared from RN3 and PPh3, with adipoyl chloride and benzenediacetyl chloride gave azepines I and benzazepines II, resp.
IT 127441-02-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 127441-02-5 CAPLUS
CN 3H-3-Benzazepine-3-acetic acid, 1,2,4,5-tetrahydro-2,4-dioxo-, ethyl ester (CA INDEX NAME)



L33 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1971:463539 CAPLUS
DOCUMENT NUMBER: 75:63539
ORIGINAL REFERENCE NO.: 75:10067a,10070a
TITLE: Chemistry of heterocycles. III. Synthesis and reactions of benzolactam-N-acetic acid esters
AUTHOR(S): Petyunin, P. A.; Bolotov, V. V.; Soldatova, A. F.
CORPORATE SOURCE: Khar'k. Farm. Inst., Kharkov, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1971), 7(5), 1069-75
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB 3,3-Diaryl-2-indolinones, 1,2,3,4-tetrahydro-3-isoquinolinones, and -1,2,3,4-tetrahydro-2(5H)-benzazepinones (aryl = Ph, p-MeC6H4) reacted with RCHXCO2R1 (R = H, Et, iso-Pr, Ph; R1 = Et, CH2CH2Cl, Bu; X = Cl, Br) in the presence of NaOEt to give the corresponding benzolactam-N-acetic esters (I) in 73-95% yield. I underwent hydrazinolysis, amidation, saponification, and transesterification in the usual manner.
IT 32927-59-6P 32933-52-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32927-59-6 CAPLUS
CN 3H-3-Benzazepine-3-acetic acid, 1,2,4,5-tetrahydro-2-oxo-1,1-diphenyl-, ethyl ester (CA INDEX NAME)



RN 32933-52-1 CAPLUS
CN 3H-3-Benzazepine-3-acetanilide, 1,2,4,5-tetrahydro-2-oxo-1,1-diphenyl- (8CI) (CA INDEX NAME)



L33 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1970:66713 CAPLUS
DOCUMENT NUMBER: 72:66713

ORIGINAL REFERENCE NO.: 72:12166h,12167a
TITLE: Anticonvulsant and pesticidal 10-hydroxy-10,5-(iminomethano)-5H-dibenzo[a,d]cycloheptene-11,13(10H)-diones
INVENTOR(S): Davis, Martin A.; Dobson, Thomas A.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

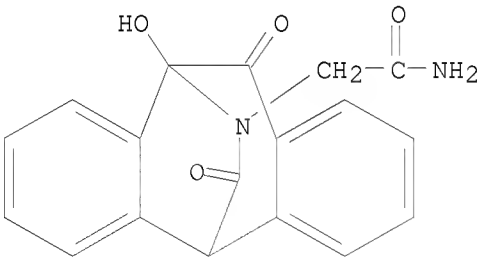
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 3487075	A	19691230	US 1966-605224		19661228
PRIORITY APPLN. INFO.:			US 1966-605224	A	19661228

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), having anticonvulsant, antibacterial, and trichomonacidal properties, are prepared by treating 10,5-(epoxymethano)-5H-dibenzo-[a,d]cycloheptene-11,13(10H)-dione (II) with an amine to give III followed by oxidation Thus, 8.0 g II in 40 ml dioxane was added dropwise with stirring to 150 ml concentrated aqueous NH3 under N and the mixture kept 2 hr to give 6.0 g III (R = H), m. 172-3°. This (1.0 g) in 25 ml Me2CO was treated dropwise with 3 ml 8N H2CrO4 and worked up to yield I (R = H), m. 227-30° (EtOH). II (10 g) in 50 ml dioxane was added dropwise to a mixture of 200 ml concentrated NH3 solution and 200 ml H2O in an open vessel and the mixture agitated 4 hr to give 5.0 g I (R = H), m. 242-3°. Similarly prepared were I (R and m.p. given): Me, 222-3° (MeOCH2CH2OMe); Et, 202-3° (EtOH-hexane); Pr, 171-2° (CHCl3-hexane); Bu, 128-9° (EtOAc-hexane); PhCH2CH2, 165-6° (EtOH-hexane); CH2-cH:CH2, 169-70° (EtOAc); cyclopropyl, 162-3° (EtOAc-hexane); HOCH2CH2, 179-80° (EtOAc); Me2NCH2CH2, 164-6° (EtOAc); H2NCOCH2, 211-13° (decomposition) (EtOH or MeCN).

IT 27086-84-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 27086-84-6 CAPLUS
CN 10,5-(Iminomethano)-5H-dibenzo[a,d]cycloheptene-12-acetamide, 10,11-dihydro-10-hydroxy-11,13-dioxo- (8CI) (CA INDEX NAME)



=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	71.64	1117.91

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)       SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -9.60     -15.20

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 20, 2008 (20080620/UP).

=> D HIS

     (FILE 'HOME' ENTERED AT 16:16:16 ON 27 JUN 2008)

     FILE 'REGISTRY' ENTERED AT 16:16:25 ON 27 JUN 2008
L1             STRUCTURE UPLOADED
L2           0 S L1 FAM FULL
L3           0 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 16:19:23 ON 27 JUN 2008
L4           0 S L3
               E GRIEBENOW NILS/IN
L5           9 S E3
               E FLESSNER TIMO/IN
L6          12 S E3
               E HARTER MICHAEL/IN
L7           6 S E3 OR E4
               E RAABE MARTIN/IN
L8          15 S E3
               E BUCHMULLER ANJA/IN
               E E2+ALL/CT
L9           1 S E2
               E BUCHMUELLER ANJA/IN
L10          6 S E3
               E BISCHOFF HILMAR/IN
L11        115 S E3 OR E4 OR E5
               E ELLINGHAUS PETER/IN
L12         20 S E3
               E KOLKHOF PETER/IN
L13         27 S E3
L14        189 S L5 OR L6 OR L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13
L15     113655 S CARDIOVASCULAR
L16         78 S L15 AND L14
L17          0 S L5 AND L6 AND L7
L18          5 S L8 AND L11
L19          5 S "TETRAHYDROBENZO[D]AZEPIN-2-ONE"
L20          0 S L19 AND L14

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L23            STRUCTURE UPLOADED
L24         10 S L23 SSS FULL

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L25          1 S L24
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L27          38 S L26 SSS FULL

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L28           2 S L27
L29           1 S L28 NOT L25

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L30             STRUCTURE UPLOADED
L31          49 S L30 SSS FULL

     FILE 'CAPLUS' ENTERED AT 17:03:51 ON 27 JUN 2008
L32          14 S L31
L33          12 S L32 NOT L28

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